```
C:\Documents and Settings\EBernhardt\My Document
```

```
10 12 13 23
ring nodes :
   1 2 3 4 5 6 7 8 9 15 16 17 18 19 20
chain bonds :
   8-10 10-12 10-13 10-16 19-23
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 15-16 15-20 16-17 17-18 18-19
   19-20
exact/norm bonds :
   5-7 6-9 7-8 8-9 10-12 10-13 10-16 15-16 15-20 16-17 17-18 18-19 19-20 19-23
exact bonds :
   8-10
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
   containing 1 : 15 :
G1:H,CH3
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
```

12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 23:Atom

Saturation : Unsaturated Number of Carbon Atoms : less than 7 Type of Ring System : Monocyclic

Element Count : Node 23: Limited

Generic attributes :

23:

chain nodes :

O,OO-1 S,SO-1 N,NO-2

=> s 11

SAMPLE SEARCH INITIATED 17:50:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 222 TO ITERATE

100.0% PROCESSED 222 ITERATIONS

9 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 3547 TO 5333
PROJECTED ANSWERS: 9 TO 359

L2 9 SEA SSS SAM L1

=> d 12 1-9

L2 ANSWER 1 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN

RN 726174-08-9 REGISTRY

ED Entered STN: 13 Aug 2004

CN lH-Benzimidazole, 2-[[4-[6-(methylthio)-2-pyridinyl]-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C18 H21 N5 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 2 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN

RN 689261-14-1 REGISTRY

ED Entered STN: 04 Jun 2004

CN 1H-Benzimidazole, 2-[[4-(4-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C17 H19 N5

CI COM

SR CA

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 3 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN

RN 587871-25-8 REGISTRY

ED Entered STN: 18 Sep 2003

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, compd. with bromine (1:1) (9CI) (CA INDEX NAME)

MF C17 H19 N5 . Br2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATZ, USPATFULL

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 7726-95-6

CMF Br2

Br-Br

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 4 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN

RN 587871-24-7 REGISTRY

ED Entered STN: 18 Sep 2003

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2S,3S)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H19 N5 . 2 C4 H6 O6

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATZ, USPATFULL

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
\downarrow \downarrow \\
N
\end{array}$$

$$\begin{array}{c}
CH_2 - N \\
N \\
\end{array}$$

$$\begin{array}{c}
N \\
\end{array}$$

CM 2

CRN 147-71-7 CMF C4 H6 O6

Absolute stereochemistry.

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 5 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN

RN 587871-22-5 REGISTRY

ED Entered STN: 18 Sep 2003

CN L-Glutamic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H19 N5 . C5 H9 N O4

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATZ, USPATFULL

CM 1

$$\begin{array}{c|c}
H \\
N \\
N \\
\end{array}$$

$$\begin{array}{c|c}
CH_2 \\
N \\
\end{array}$$

$$\begin{array}{c|c}
N \\
\end{array}$$

CRN 56-86-0 CMF C5 H9 N O4

Absolute stereochemistry.

- 2 REFERENCES IN FILE CA (1907 TO DATE)
- 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L2 ANSWER 6 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN
- RN 587871-17-8 REGISTRY
- ED Entered STN: 18 Sep 2003
- CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, rel-(2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C17 H19 N5 . 2 C4 H6 O6
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER, USPAT7, USPATFULL.

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 133-37-9 CMF C4 H6 O6

Relative stereochemistry.

```
2 REFERENCES IN FILE CA (1907 TO DATE)
               2 REFERENCES IN FILE CAPLUS (1907 TO DATE)
L2
     ANSWER 7 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN
RN
     587870-90-4 REGISTRY
     Entered STN: 18 Sep 2003
ED
CN
     Heptanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-
     benzimidazole (1:1) (9CI) (CA INDEX NAME)
     C17 H19 N5 . C7 H14 O2
MF
SR
     CA
LC
     STN Files:
                  CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
     CM
          1
     CRN 70006-24-5
     CMF C17 H19 N5
            CH2
     CM
          2
     CRN 111-14-8
     CMF C7 H14 O2
Me^{-(CH_2)}_{5}-CO_2H
               2 REFERENCES IN FILE CA (1907 TO DATE)
               2 REFERENCES IN FILE CAPLUS (1907 TO DATE)
L2
     ANSWER 8 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN
     140945-43-3 REGISTRY
RN
ED
     Entered STN: 01 May 1992
CN
     1H-Benzimidazole, 1-(2-\text{ethoxyethyl})-2-[[4-(1H-\text{pyrazol}-1-\text{yl})-1-
     piperazinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
     1H-Benzimidazole, 1-(2-ethoxyethyl)-2-[[4-(1H-pyrazol-1-yl)-1-
```

CRN 140945-42-2 CMF C19 H26 N6 O

C19 H26 N6 O . C4 H4 O4

STN Files: CA, CAPLUS, USPATFULL

STEREOSEARCH

1

piperazinyl]methyl]-, (Z)-2-butenedioate (1:1)

FS

MF

SR LC

CM

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 9 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN

RN 70006-25-6 REGISTRY

ED Entered STN: 16 Nov 1984

CN lH-Benzimidazole, 2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-[[4-(1,3-Thiazol-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole

FS 3D CONCORD

MF C15 H17 N5 S

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

$$\begin{array}{c|c} & H \\ \hline & N \\ \hline & N \\ \hline & CH_2 \\ \hline & N \\ \hline & S \\ \hline \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

7 REFERENCES IN FILE CA (1907 TO DATE)

7 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s l1 sss full

FULL SEARCH INITIATED 17:51:07 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 4514 TO ITERATE

100.0% PROCESSED 4514 ITERATIONS

SEARCH TIME: 00.00.01

109 ANSWERS

L3 109 SEA SSS FUL L1

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 184.48 184.69

FULL ESTIMATED COST

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http://www.cas.org/infopolicy.html

=> s 13

L4

=> d 14 1-14 bib abs hitstr

14 L3

- L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2005:1171443 CAPLUS
- DN 143:432676
- TI New pharmaceutical compositions for the treatment of sexual disorders
- IN Mendla, Klaus; Pyke, Robert; Eisenreich, Wolfram; Friedl, Thomas
- PA Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharmaceuticals, Inc.; Boehringer Ingelheim Pharma GmbHH & Co. KG
- SO PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PA'	TENT	NO.			KIN	D	DATE			APPL	ICAT:	ION	NO.		D	ATE	
			- -				-					-						
ΡI	WO 2005102342				A1		20051103		WO 2005-EP4081					20050418				
		W:	ΑE,	ΑG,	ΑL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	ΚP,	KR,	ΚZ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
			NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,
			SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,

ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2005245539 20051103 US 2005-110449 A1 20050420 PRAI US 2004-564662P Р 20040422 US 2004-631800P Ρ 20041130

OS MARPAT 143:432676

AB The invention relates to new pharmaceutical compns. for the treatment of sexual disorders and methods for the prepn. thereof. In a preferred embodiment, the instant invention is directed to pharmaceutical combinations comprising flibanserin as one active ingredient in combination with at least one addnl. active ingredient for the treatment of sexual disorders and methods for the prepn. thereof.

IT **70006-24-5**, ABT-724

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(new pharmaceutical compns. for treatment of sexual disorders)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:546484 CAPLUS

DN 141:106462

TI Preparation of pyrazoles as inhibitors of HSP90

IN Beswick, Mandy Christine; Drysdale, Martin James; Dymock, Brian William; McDonald, Edward

PA Vernalis Cambridge Limited, UK; Cancer Research Technology Ltd.; The Institute of Cancer Research

SO PCT Int. Appl., 98 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PA	FENT	NO.			KIN	D	DATE		1	APPL	ICAT:	ION I	NO.		D	ATE	
							_					_						
ΡI	WO 2004056782				A1 20040708			WO 2003-GB5501					20031218					
		W:	ΑE,	ΑG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,
			NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,
			TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,	VC,	VN,	ΥU,	ZA,	ZM,	ZW	

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2509403
                                             CA 2003-2509403
                                20040708
                          AΑ
                                                                     20031218
     AU 2003292429
                                             AU 2003-292429
                          A1
                                 20040714
                                                                     20031218
     EP 1572664
                          A1
                                 20050914
                                             EP 2003-768007
                                                                     20031218
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     BR 2003017492
                          Α
                                 20051116
                                             BR 2003-17492
                                                                     20031218
     CN 1738804
                          Α
                                 20060222
                                             CN 2003-80108919
                                                                     20031218
     JP 2006511571
                                             JP 2004-561628
                          T2
                                 20060406
                                                                     20031218
PRAI GB 2002-29618
                          Α
                                20021219
     WO 2003-GB5501
                          W
                                20031218
OS
     MARPAT 141:106462
GI
```

AB The title compds. [I or II; Ar = (un)substituted aryl, arylalkyl, heteroaryl, heteroarylalkyl; R1 = H, alkyl; R2 = H, (un)substituted cycloalkyl, cycloalkenyl, alkyl, alkenyl, alkynyl, carboxyl, carboxamide or carboxyl ester group; A = non-arom. carbocyclic or heterocyclic ring wherein (i) a ring carbon is optionally substituted, and/or (ii) a ring nitrogen is optionally substituted by a group of formula -(Alk1)p(Cyc)n(Alk3)m(Z)r(Alk2)sQ where Alk1, Alk2 and Alk3 = alkyl; Cyc = carbocyclic or heterocyclic radical; m, n, p, r and s = 0-1; Z = 0, S, CO, S02, etc.; Q = H, (un)substituted carbocyclic or heterocyclic radical] which are inhibitors of HSP90, and are of value in the treatment of diseases responsive to HSP90 inhibition such as cancer, were prepd. E.g., a multi-step synthesis of 4-chloro-6-(4-piperazin-1-yl-1H-pyrazol-3-yl)benzene-1,3-diol which showed IC50 of <50 .mu.M in the malachite green ATPase assay, was given.

IT 719287-59-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrazoles as inhibitors of HSP90)

RN 719287-59-9 CAPLUS

CN 1,3-Benzenediol, 4-chloro-6-[4-[4-[(1-methyl-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:533974 CAPLUS

DN 141:89087

TI Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related compounds that are useful in treating sexual dysfunction

IN Cowart, Marlon D.; Patel, Meena V.; Kolasa, Teodozyi; Brioni, Jorge D.; Rohde, Jeffrey J.; Engstrom, Kenneth M.; Stewart, Andrew O.; Daanen, Jerome F.; Bhatia, Pramila A.

PA USA

SO U.S. Pat. Appl. Publ., 59 pp. CODEN: USXXCO

DT Patent

LA English

FAN. CNT 1

TAN. CHI I						
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI US 2004127504	A1	20040701	US 2003-656672	20030905		
PRAI US 2002-408784P	P	20020906				
OS MARPAT 141:89087						
GI						

$$R^2$$
 R^3
 R^4
 R^5
 R^6
 R^6
 R^6
 R^6
 R^6

Title compds. (I) [wherein A = (un) substituted Ph, pyridinyl, pyrimidinyl, AB thienyl, pyrrolyl, furyl, imidazolyl, pyrazolyl, (is)oxazolyl, (iso)thiazolyl, triazolyl, tetrazolyl, etc.; L = CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2; R1-R4 = independently H, alkoxy(carbonyl), alkenyl, (halo)alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkynyl, alkylcarbonyl(oxy), CO2H, CN, CHO, halo(alkoxy), OH, hydroxyalkyl, SH, NO2, or (un)substituted amino or carbamoyl; R5 = H, alkoxycarbonyl, alkyl, (cyclo)alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or (un) substituted carbamoyl; R6 = H or alkyl; Z = N, C, or CH; or pharmaceutically acceptable salt, ester, amide, or prodrug thereof] were prepd. as dopamine agonists (no data) for the treatment of sexual dysfunction. For example, 2-chloromethylbenzimidazole and TEA were added to 1-(2-pyridyl)piperazine in DMF and the soln. stirred at 20.degree. for 16 h to give 2-[(4-pyridin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole (II) in 72% yield. The latter induced penile erection in Wistar rats with an incidence of 83% at a dose of 0.03 .mu.mol/kg without inducing emesis. IT 70006-24-5P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1H-

benzimidazole

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

ΙT **70006-25-6P**, 2-[4-(1,3-Thiazol-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole 474417-17-9P, 2-[[4-(Pyridin-2-yl)piperazin-1yl]methyl]-1H-benzimidazole maleate (1:1) 474417-18-0P, 2-[[4-(Pyrimidin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-19-1P, 2-[[4-(6-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-20-4P, 2-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]nicotinonitrile 474417-21-5P, 5,7-Dibromo-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-22-6P, 5-Fluoro-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-24-8P, Isobutyl 2-[[4-(pyridin-2yl)piperazin-1-yl]methyl]-1H-benzimidazole-1-carboxylate 474417-25-9P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1-(pyrrolidin-1-ylcarbonyl)-1H-benzimidazole 474417-26-0P, N,N-Dimethyl-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole-1carboxamide 474417-39-5P, 2-[[2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-41-9P, 2-[[(2S)-2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-43-1p , 2-[((2R)-2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-

```
benzimidazole 474417-45-3P, N-[2-[4-[(1H-Benzimidazol-2-
     yl)methyl]piperazin-1-yl]pyridin-3-yl]methanesulfonamide
     474417-47-5P, 2-[[4-(3-Fluoropyridin-2-yl)piperazin-1-yl]methyl]-
     1H-benzimidazole 474417-48-6P, 6-[4-[(1H-Benzimidazol-2-
     yl)methyl]piperazin-1-yl]pyridin-3-ol 474417-51-1P,
     2-[[4-(3-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole
     474417-52-2P 587870-75-5P 587870-76-6P
     587870-77-7P 587870-78-8P 587870-79-9P
     587870-80-2P 587870-81-3P 587870-82-4P
     587870-83-5P 587870-84-6P 587870-85-7P
     587870-86-8P 587870-87-9P 587870-88-0P
     587870-89-1P 587870-90-4P 587870-91-5P
     587870-92-6P 587870-93-7P 587870-94-8P
     587870-95-9P 587870-96-0P 587870-97-1P
     587870-98-2P 587870-99-3P 587871-00-9P
     587871-01-0P 587871-02-1P 587871-03-2P
     587871-04-3P 587871-05-4P 587871-06-5P
     587871-07-6P 587871-08-7P 587871-09-8P
     587871-10-1P 587871-11-2P 587871-12-3P
     587871-13-4P 587871-14-5P 587871-15-6P
     587871-16-7P 587871-17-8P 587871-18-9P
     587871-19-0P 587871-20-3P 587871-21-4P
     587871-22-5P 587871-23-6P 587871-24-7P
     587871-25-8P 587871-27-0P 587871-29-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from
       heterocycles and (haloalkyl)benzimidazoles for treatment of sexual
        dysfunction)
RN
     70006-25-6 CAPLUS
     1H-Benzimidazole, 2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI)
CN
```

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \hline & N & \\ \hline & S & \\ \end{array}$$

```
RN 474417-17-9 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5
CMF C17 H19 N5
```

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 474417-18-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$N$$
 CH_2
 N
 N
 N
 N

RN 474417-19-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(6-methyl-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-20-4 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 474417-21-5 CAPLUS

CN 1H-Benzimidazole, 4,6-dibromo-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-22-6 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-24-8 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 474417-25-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 474417-26-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N,N-dimethyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 474417-39-5 CAPLUS

CN 1H-Benzimidazole, 2-[[2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-41-9 CAPLUS

CN 1H-Benzimidazole, 2-[[(2S)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-43-1 CAPLUS

CN 1H-Benzimidazole, 2-[[(2R)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-45-3 CAPLUS

CN Methanesulfonamide, N-[2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ NH & S-Me \\ \hline \\ & &$$

RN 474417-47-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-fluoro-2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 474417-48-6 CAPLUS

CN 3-Pyridinol, 6-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 474417-51-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-methyl-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-52-2 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$CH_2$$
 N N N N

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 587870-75-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, sulfate

(1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H & CH_2 - N \\
N & N
\end{array}$$

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 587870-76-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 587870-77-7 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HCl

RN 587870-78-8 CAPLUS

CN Hexanedioic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 124-04-9 CMF C6 H10 O4

 $HO_2C-(CH_2)_4-CO_2H$

RN 587870-79-9 CAPLUS

CN Alginic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 9005-32-7 CMF Unspecified CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 587870-80-2 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
N \\
\end{array}$$

$$\begin{array}{c}
CH_2 \\
N \\
\end{array}$$

$$\begin{array}{c}
N \\
\end{array}$$

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$^{\mathrm{CO_2H}}_{\mid}$$
 $^{\mathrm{HO_2C-CH_2-CO_2H}}_{\mid}$ $^{\mathrm{OO_2H}}_{\mid}$ $^{\mathrm{OH}}$

RN 587870-81-3 CAPLUS

CN L-Aspartic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 56-84-8 CMF C4 H7 N O4

Absolute stereochemistry. Rotation (+).

$$HO_2C$$
 S CO_2H NH_2

RN 587870-82-4 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monobenzoate (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ & N & \\ & & N \end{array}$$

CM 2

CRN 65-85-0 CMF C7 H6 O2

RN 587870-83-5 CAPLUS CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-,

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monobenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

RN 587870-84-6 CAPLUS

CN Butanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
N \\
\end{array}$$

$$\begin{array}{c|c}
CH_2 \\
N \\
\end{array}$$

$$\begin{array}{c|c}
N \\
\end{array}$$

CM 2

CRN 107-92-6 CMF C4 H8 O2

RN 587870-85-7 CAPLUS

CN 1,3-Cyclopentanedicarboxylic acid, 1,2,2-trimethyl-, (1R,3S)-rel-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 5394-83-2 CMF C10 H16 O4

Relative stereochemistry.

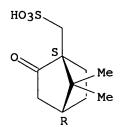
RN 587870-86-8 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1S,4R)-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3144-16-9 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).



RN 587870-87-9 CAPLUS

CN D-Gluconic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$CH_2$$

CM 2

CRN 526-95-4 CMF C6 H12 O7

Absolute stereochemistry.

RN 587870-88-0 CAPLUS

CN 1,2,3-Propanetriol, 1-(dihydrogen phosphate), compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 57-03-4 CMF C3 H9 O6 P

$$\begin{array}{c} \text{OH} \\ | \\ \text{HO-CH}_2\text{--CH-CH}_2\text{--OPO}_3\text{H}_2 \end{array}$$

RN 587870-89-1 CAPLUS

CN lH-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, sulfate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} H & \\ N & \\ N & \\ \end{array}$$

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 587870-90-4 CAPLUS

CN Heptanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 111-14-8 CMF C7 H14 O2

 Me^{-} (CH₂)₅-CO₂H

RN 587870-91-5 CAPLUS

CN Hexanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 142-62-1 CMF C6 H12 O2

 Me^{-} (CH₂)₄-CO₂H

RN 587870-92-6 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-,

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(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 587870-93-7 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 587870-94-8 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 587870-95-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monohydrobromide (9CI) (CA INDEX NAME)

• HBr

RN 587870-96-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monohydriodide (9CI) (CA INDEX NAME)

● HI

RN 587870-97-1 CAPLUS

CN Ethanesulfonic acid, 2-hydroxy-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 107-36-8 CMF C2 H6 O4 S

 $HO-CH_2-CH_2-SO_3H$

RN 587870-98-2 CAPLUS

CN Propanoic acid, 2-hydroxy-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 50-21-5 CMF C3 H6 O3

CM 1

CRN 75-75-2 CMF C H4 O3 S

RN 587871-00-9 CAPLUS

CN 3-Pyridinecarboxylic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \end{array}$$

CM 2

CRN 59-67-6 CMF C6 H5 N O2

RN 587871-01-0 CAPLUS

CN 2-Naphthalenesulfonic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 120-18-3 CMF C10 H8 O3 S

RN 587871-02-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H & CH_2 - N \\
N & N
\end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 587871-03-2 CAPLUS

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CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$CH_2$$

CM 2

CRN 130-85-8 CMF C23 H16 O6

RN 587871-04-3 CAPLUS

CN Pectin, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
N \\
N
\end{array}$$

$$\begin{array}{c|c}
CH_2 \\
N \\
N
\end{array}$$

CM 2

CRN 9000-69-5 CMF Unspecified CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 587871-05-4 CAPLUS

CN Peroxymonosulfuric acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ & N & \\ & & N \end{array}$$
 $CH_2 - N \\ & N \end{array}$

CM 2

CRN 7722-86-3 CMF H2 O5 S

RN 587871-06-5 CAPLUS

CN Benzenepropanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \end{array}$$

CM 2

CRN 501-52-0 CMF C9 H10 O2

 $Ph-CH_2-CH_2-CO_2H$

RN 587871-07-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 88-89-1 CMF C6 H3 N3 O7

RN 587871-08-7 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

$$\begin{array}{c|c} H & CH_2 - N \\ \hline N & N \end{array}$$

CM 2

CRN 75-98-9 CMF C5 H10 O2

RN 587871-09-8 CAPLUS

CN Propanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$CH_2$$

CM 2

CRN 79-09-4 CMF C3 H6 O2

RN 587871-10-1 CAPLUS

CN Butanedioic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 110-15-6 CMF C4 H6 O4

 $HO_2C-CH_2-CH_2-CO_2H$

RN 587871-11-2 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$H$$
 N
 CH_2
 N
 N

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 587871-12-3 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-,
2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5

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CMF C17 H19 N5

CM 2

CRN 526-83-0 CMF C4 H6 O6

$$\begin{array}{c|c} & \text{OH} & \text{OH} \\ & | & | \\ & \text{HO}_2\text{C}-\text{CH}-\text{CH}-\text{CO}_2\text{H} \end{array}$$

RN 587871-13-4 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 526-83-0 CMF C4 H6 O6

RN 587871-14-5 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-,
(2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \end{array}$$
 $CH_2 - N \\ \hline & N & \\ \end{array}$

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 587871-15-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
\downarrow \downarrow \\
N
\end{array}$$

$$\begin{array}{c|c}
CH_2 \\
N \\
\end{array}$$

CM 2

CRN 147-71-7 CMF C4 H6 O6

Absolute stereochemistry.

RN 587871-16-7 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, rel-(2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
N \\
\end{array}$$

$$\begin{array}{c|c}
CH_2 \\
N \\
\end{array}$$

$$\begin{array}{c|c}
N \\
\end{array}$$

CM 2

CRN 133-37-9 CMF C4 H6 O6

Relative stereochemistry.

RN 587871-17-8 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, rel-(2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 133-37-9 CMF C4 H6 O6

Relative stereochemistry.

RN 587871-18-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, rel-(2R,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 147-73-9 CMF C4 H6 O6

Relative stereochemistry.

RN 587871-19-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, rel-(2R,3S)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
N
\end{array}$$

$$\begin{array}{c|c}
CH_2 - N \\
N \\
\end{array}$$

$$\begin{array}{c|c}
N \\
\end{array}$$

CM 2

CRN 147-73-9 CMF C4 H6 O6

Relative stereochemistry.

RN 587871-20-3 CAPLUS

CN Thiocyanic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
- CH_2 - N \\
N \\
- N
\end{array}$$

CM 2

CRN 463-56-9 CMF C H N S $HS-C \equiv N$

RN 587871-21-4 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 7664-38-2 CMF H3 O4 P

RN 587871-22-5 CAPLUS

CN L-Glutamic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
N \\
\end{array}$$

$$\begin{array}{c|c}
CH_2 \\
N \\
\end{array}$$

$$\begin{array}{c|c}
N \\
\end{array}$$

CM 2

CRN 56-86-0 CMF C5 H9 N O4

Absolute stereochemistry.

RN 587871-23-6 CAPLUS

CN Carbonic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 463-79-6 CMF C H2 O3

RN 587871-24-7 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2S,3S)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 147-71-7 CMF C4 H6 O6

Absolute stereochemistry.

RN 587871-25-8 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, compd. with bromine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 7726-95-6 CMF Br2

Br-Br

RN 587871-27-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 587871-29-2 CAPLUS

CN Undecanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \hline & N & \\ \hline \end{array}$$

CM 2

CRN 112-37-8 CMF C11 H22 O2

 $HO_2C^-(CH_2)_9^-Me$

IT 474417-50-0P, 2-[[4-[5-(Benzyloxy)pyridin-2-yl]piperazin-1yl]methyl]-1H-benzimidazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
 (intermediate; prepn. of (heterocyclylalkyl)benzimidazoles from
 heterocycles and (haloalkyl)benzimidazoles for treatment of sexual
 dysfunction)

RN 474417-50-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-[5-(phenylmethoxy)-2-pyridinyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

IT 587870-74-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 587870-74-4 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, phosphate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$H$$
 N
 CH_2
 N
 N

CM 2

CRN 7664-38-2 CMF H3 O4 P

- L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2004:465135 CAPLUS
- DN 141:150462
- Discovery of 2-(4-Pyridin-2-ylpiperazin-1-ylmethyl)-1H-benzimidazole (ABT-724), a Dopaminergic Agent with a Novel Mode of Action for the Potential Treatment of Erectile Dysfunction
- AU Cowart, Marlon; Latshaw, Steven P.; Bhatia, Pramila; Daanen, Jerome F.;

Rohde, Jeffrey; Nelson, Sherry L.; Patel, Meena; Kolasa, Teodozyi; Nakane, Masaki; Uchic, Marie E.; Miller, Loan N.; Terranova, Marc A.; Chang, Renjie; Donnelly-Roberts, Diana L.; Namovic, Marian T.; Hollingsworth, Peter R.; Martino, Brenda R.; Lynch, James J., III; Sullivan, James P.; Hsieh, Gin C.; Moreland, Robert B.; Brioni, Jorge D.; Stewart, Andrew O.

CS Department of Neuroscience Research, Abbott Laboratories, Abbott Park, IL, 60064-6123, USA

SO Journal of Medicinal Chemistry (2004), 47(15), 3853-3864 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 141:150462

AB A new class of agents with potential utility for the treatment of erectile dysfunction has been discovered, guided by the hypothesis that selective D4 agonists are erectogenic but devoid of the side effects typically assocd. with dopaminergic agents. The lead agent 2-(4-pyridin-2ylpiperazin-1-ylmethyl)-1H-benzimidazole (1, ABT-724) was discovered by optimization of a series of benzimidazole arylpiperazines. This highly selective D4 agonist was found to be very potent and efficacious in vivo, eliciting penile erections in rats at a dose of 0.03 .mu.mol/kg, with a pos. response rate of 77% erectile incidence. Even at high doses, it was devoid of side effects in animal models of central nervous system behaviors, emesis, or nausea. The structure-activity relationship of the parent benzimidazole series leading to 1 is described, with the detailed in vitro and in vivo profiles described. Distinctive structural features were discovered that are assocd. with D4 selective agonism in this series of analogs.

TT 392321-86-7P 474417-18-0P 474417-19-1P 474417-20-4P 474417-21-5P 474417-22-6P 474417-25-9P 474417-26-0P 474417-41-9P 474417-43-1P 474417-47-5P 726173-96-2P 726174-01-2P 726174-02-3P 726174-03-4P 726174-04-5P 726174-05-6P 726174-06-7P 726174-07-8P 726174-08-9P 726174-12-5P 726174-13-6P 726174-14-7P 726174-15-8P 726174-16-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and structure-activity relationship studies of ABT-724 and arylpiperazine-piperidine analogs, as dopaminergic agents with a novel mode of action for treatment of erectile dysfunction)

RN 392321-86-7 CAPLUS

CN 1H-Benzimidazole, 1-methyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-18-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]- (9CI) (CA

INDEX NAME)

RN 474417-19-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(6-methyl-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-20-4 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 474417-21-5 CAPLUS

CN 1H-Benzimidazole, 4,6-dibromo-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Br} & \text{N} & \text{CH}_2 & \text{N} & \text{N} \\ & & \text{NH} & \text{CH}_2 & \text{N} & \text{N} \end{array}$$

RN 474417-22-6 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-25-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 474417-26-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N,N-dimethyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & CH_2 - N & N \\ \hline \\ C - NMe_2 \\ 0 \end{array}$$

RN 474417-41-9 CAPLUS

CN 1H-Benzimidazole, 2-[[(2S)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-43-1 CAPLUS

CN 1H-Benzimidazole, 2-[[(2R)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-47-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-fluoro-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$N$$
 CH_2
 N
 N
 N
 N
 N
 N

RN 726173-96-2 CAPLUS

CN 1H-Benzimidazole, 2-[[4-[3-(methylsulfonyl)-2-pyridinyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 726174-01-2 CAPLUS

CN 1H-Benzimidazole, 2-[[4-[4-(trifluoromethyl)-2-pyrimidinyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 726174-02-3 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(5-ethyl-2-pyrimidinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 726174-03-4 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(6-chloro-3-pyridazinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 726174-04-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-pyridazinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 726174-05-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-nitro-2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 726174-06-7 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-chloro-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 726174-07-8 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 726174-08-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-[6-(methylthio)-2-pyridinyl]-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 726174-12-5 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

MeO
$$N \rightarrow CH_2 \rightarrow N \rightarrow N$$

•2 HCl

RN 726174-13-6 CAPLUS

CN 1H-Benzimidazole, 2-[2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 726174-14-7 CAPLUS

CN lH-Benzimidazole, 1-ethyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 726174-15-8 CAPLUS

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 726174-16-9 CAPLUS

CN 1H-Benzimidazole, 1-(phenylmethyl)-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

IT 70006-24-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and structure-activity relationship studies of ABT-724 and
arylpiperazine-piperidine analogs, as dopaminergic agents with a novel
mode of action for treatment of erectile dysfunction)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA

INDEX NAME)

IT 474417-17-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and structure-activity relationship studies of ABT-724 and arylpiperazine-piperidine analogs, as dopaminergic agents with a novel mode of action for treatment of erectile dysfunction)

RN 474417-17-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:388216 CAPLUS

DN 141:99528

TI Activation of dopamine D4 receptors by ABT-724 induces penile erection in rats

AU Brioni, Jorge D.; Moreland, Robert B.; Cowart, Marlon; Hsieh, Gin C.; Stewart, Andrew O.; Hedlund, Petter; Donnelly-Roberts, Diana L.; Nakane, Masaki; Lynch, James J., III; Kolasa, Teodozyi; Polakowski, James S.; Osinski, Mark A.; Marsh, Kennan; Andersson, Karl-Erik; Sullivan, James P.

- CS Neuroscience Research, Global Pharmaceutical Research and Development, Abbott Laboratories, Abbott Park, IL, 60064, USA
- SO Proceedings of the National Academy of Sciences of the United States of America (2004), 101(17), 6758-6763

 CODEN: PNASA6; ISSN: 0027-8424
- PB National Academy of Sciences
- DT Journal
- LA English
- AB Apomorphine, a nonselective dopamine receptor agonist, facilitates penile erection and is effective in patients suffering from erectile dysfunction. The specific dopamine receptor subtype(s) responsible for its erectogenic effect is not known. Here we report that the dopamine D4 receptor plays a role in the regulation of penile function. ABT-724 is a selective dopamine D4 receptor agonist that activates human dopamine D4 receptors with an EC50 of 12.4 nM and 61% efficacy, with no effect on dopamine D1, D2, D3, or D5 receptors. ABT-724 dose-dependently facilitates penile erection when given s.c. to conscious rats, an effect that is blocked by haloperidol and clozapine but not by domperidone. A proerectile effect is obsd. after intracerebroventricular but not intrathecal administration, suggesting a supraspinal site of action. S.c. injections of ABT-724 increase intracavernosal pressure in awake freely moving rats. In the presence of sildenafil, a potentiation of the proerectile effect of ABT-724 is obsd. in conscious rats. The ability of ABT-724 to facilitate penile erection together with the favorable side-effect profile indicates that ABT-724 could be useful for the treatment of erectile dysfunction. TΥ

IT 70006-24-5, ABT 724
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)

(ABT-724 activation of D4 receptors induces penile erection)

- RN 70006-24-5 CAPLUS
- CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2004:236724 CAPLUS
- DN 140:399345
- TI Dopamine D4 ligands and models of receptor activation:
 2-(4-pyridin-2-ylpiperazin-1-ylmethyl)-1H-benzimidazole and related
 heteroarylmethylarylpiperazines exhibit a substituent effect responsible
 for additional efficacy tuning
- AU Stewart, Andrew O.; Cowart, Marlon D.; Moreland, Robert B.; Latshaw, Steve P.; Matulenko, Mark A.; Bhatia, Pramila A.; Wang, Xueqing; Daanen, Jerome F.; Nelson, Sherry L.; Terranova, Marc A.; Namovic, Marian T.; Donnelly-Roberts, Diana L.; Miller, Loan N.; Nakane, Masaki; Sullivan,

James P.; Brioni, Jorge D.

CS Global Pharmaceutical Research and Development, Department R4ND, Neuroscience Research, Abbott Laboratories, Abbott Park, IL, 60064-6115, USA

SO Journal of Medicinal Chemistry (2004), 47(9), 2348-2355 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 140:399345

AB A series of subtype selective dopamine D4 receptor ligands from the heteroarylmethylphenylpiperazine class have been discovered that exhibit a remarkable structure-activity relation (SAR), revealing a substituent effect in which regiosubstitution on the terminal arylpiperazine ring can modulate functional or intrinsic activity. Other structure-dependent efficacy studies in the dopamine D4 field have suggested a crit. interaction of the heteroarylmethyl moiety with specific protein microdomains in controlling intrinsic activity. The authors studies indicate that for some binding orientations, the phenylpiperazine moiety also plays a key role in detg. efficacy. These data also implicate a kinetic or efficiency term, contained within measured functional affinities for agonists, which support a sequential binding and conformational stabilization model for receptor activation. The structural similarity between partial agonist and antagonist, within this subset of ligands, and lack of bioisosterism for this substituent effect are key phenomena for these hypotheses.

IT 70006-24-5P, 2-(4-Pyridin-2-ylpiperazin-1-ylmethyl)-1Hbenzimidazole

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(dopamine D4 ligands and models of receptor activation using 4-pyridinylpiperazinylmethyl-1H-benzimidazole and related heteroarylmethylarylpiperazines which exhibit a substituent effect)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

IT 587870-94-8P 689261-12-9P 689261-15-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(dopamine D4 ligands and models of receptor activation using 4-pyridinylpiperazinylmethyl-1H-benzimidazole and related heteroarylmethylarylpiperazines which exhibit a substituent effect) 587870-94-8 CAPLUS

RN

●2 HCl

RN 689261-12-9 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(3-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\bigcap_{NH}^{N} \operatorname{CH}_2 - N \bigcap_{N}^{N}$$

CM 1

CRN 689261-14-1 CMF C17 H19 N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RE.CNT 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
- 2003:678507 CAPLUS AN
- 139:214467 DN
- ΤI Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related compounds that are useful in treating sexual dysfunction
- IN Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.; Rohde, Jeffrey; Engstrom, Kenneth M.
- PA Abbott Laboratories, USA
- U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Ser. No. 94,265. SO CODEN: USXXCO
- DTPatent
- English LΑ

FAN.	CNT	2																	
PATENT NO.				KIND 			DATE			APPLICATION NO.					DATE				
PI /	US 2003162790				A1			20030828		US 2002-236812					20020906				
V	US 6960589						20051101												
	US 2002169167							-		US 2002-94265						20020308			
						В2			0404										
	CA 2478028					AA										20030304			
										WO 2003-US6406 BA, BB, BG, BR, BY, E									
		W:																	
												EE,							
												KG,							
												MW,							
												SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
			-			•		•	ZA,										
		RW:										TZ,							
												CH,							
												NL,	-	-		•	-		
							-		-	-		GW,			•		•		
		2003								AU 2003-219981									
											BR 2003-5708 EP 2003-716268								
	EP 1483258																0030		
		R:										IT,						PT,	
												TR,							
		2006				T2 20060309 P 20010309					JP 2003-574648					20030304			
PRAI	US	2001	-274	805P		P		2001	0309										
	US	2001	-296	078P		P		2001	0605										
	US	US 2002-94265 A2 2002030							0308										
		US 2001-340452P P 20011214																	
		JS 2002-236812 A 20020906																	
		WO 2003-US6406 W 20030304																	
os	MAI	MARPAT 139:214467																	
GI																			

$$R^2$$
 R^3
 R^4
 R^5
 R^6
 R^6
 R^6
 R^6

Ι

AB Title compds. (I) [wherein A = (un) substituted Ph, pyridinyl, pyrimidinyl, thienyl, pyrrolyl, furyl, imidazolyl, pyrazolyl, (is)oxazolyl, (iso)thiazolyl, triazolyl, tetrazolyl, etc.; L = CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; R1-R4 = independently H, alkoxy(carbonyl), alkenyl, (halo)alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkynyl, alkylcarbonyl(oxy), CO2H, CN, CHO, halo(alkoxy), OH, hydroxyalkyl, SH, NO2, or (un)substituted amino or carbamoyl; R5 = H, alkoxycarbonyl, alkyl, (cyclo)alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or (un) substituted carbamoyl; R6 = H or alkyl; Z = N, C, or CH; or pharmaceutically acceptable salt, ester, amide, or prodrug thereof] were prepd. as dopamine agonists (no data) for the treatment of sexual dysfunction. For example, 2-chloromethylbenzimidazole and TEA were added to 1-(2-pyridyl)piperazine in DMF and the soln. stirred at 20.degree. for 16 h to give 2-[(4-pyridin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole (II) in 72% yield. The latter induced penile erection in Wistar rats with an incidence of 83% at a dose of 0.03 .mu.mol/kg without inducing emesis. IT 70006-24-5P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1H-

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 70006-24-5 CAPLUS

benzimidazole

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & CH_2 - N \\ \hline N & N \end{array}$$

IT 70006-25-6P, 2-[[4-(1,3-Thiazol-2-yl)piperazin-1-yl]methyl]-1H-

```
benzimidazole 474417-17-9P, 2-[[4-(Pyridin-2-yl)piperazin-1-
     yl]methyl]-1H-benzimidazole maleate (1:1) 474417-18-0P,
     2-[[4-(Pyrimidin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole
     474417-19-1P, 2-[[4-(6-Methylpyridin-2-yl)piperazin-1-yl]methyl]-
     1H-benzimidazole 474417-20-4P, 2-[4-[(1H-Benzimidazol-2-
     yl)methyl]piperazin-1-yl]nicotinonitrile 474417-21-5p,
     5,7-Dibromo-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole
     474417-22-6P, 5-Fluoro-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-
     1H-benzimidazole 474417-24-8P, Isobutyl 2-[[4-(pyridin-2-
     yl)piperazin-l-yl]methyl]-lH-benzimidazole-l-carboxylate
     474417-25-9P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1-
     (pyrrolidin-1-ylcarbonyl)-1H-benzimidazole 474417-26-0P,
     N,N-Dimethyl-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole-1-
     carboxamide 474417-39-5P, 2-[[2-Methyl-4-(pyridin-2-yl)piperazin-
     1-yl]methyl]-1H-benzimidazole 474417-41-9P, 2-[[(2S)-2-Methyl-4-
     (pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-43-1P
     , 2-[((2R)-2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-
     benzimidazole 474417-45-3P, N-[2-[4-[(1H-Benzimidazol-2-
     yl)methyl]piperazin-1-yl]pyridin-3-yl]methanesulfonamide
     474417-47-5P, 2-[[4-(3-Fluoropyridin-2-yl)piperazin-1-yl]methyl]-
     1H-benzimidazole 474417-48-6P, 6-[4-[(1H-Benzimidazol-2-
     yl)methyl]piperazin-1-yl]pyridin-3-ol 474417-51-1P,
     2-[[4-(3-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole
     474417-52-2P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1H-
     benzimidazole bis((L)tartrate)
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from
        heterocycles and (haloalkyl)benzimidazoles for treatment of sexual
        dysfunction)
RN
     70006-25-6 CAPLUS
CN
     1H-Benzimidazole, 2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI)
                                                                          (CA
     INDEX NAME)
```

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \hline & & N & \\ \hline & & & \\ & &$$

C17 H19 N5

```
RN 474417-17-9 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5
```

CMF

$$\begin{array}{c|c}
 & H \\
 & N \\$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 474417-18-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-19-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(6-methyl-2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 474417-20-4 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 474417-21-5 CAPLUS

CN 1H-Benzimidazole, 4,6-dibromo-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Br} & \text{N} & \text{CH}_2 & \text{N} & \text{N} \\ \\ & \text{Br} & \text{NH} & \text{CH}_2 & \text{N} & \text{N} \end{array}$$

RN 474417-22-6 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$F \longrightarrow N \longrightarrow CH_2 \longrightarrow N \longrightarrow N$$

RN 474417-24-8 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

RN 474417-25-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
N & CH_2 - N & N \\
N & C - N \\
0 & O
\end{array}$$

RN 474417-26-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N,N-dimethyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 474417-39-5 CAPLUS

CN 1H-Benzimidazole, 2-[[2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-41-9 CAPLUS

CN 1H-Benzimidazole, 2-[[(2S)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-43-1 CAPLUS

CN 1H-Benzimidazole, 2-[[(2R)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-45-3 CAPLUS

CN Methanesulfonamide, N-[2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 474417-47-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-fluoro-2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 474417-48-6 CAPLUS

CN 3-Pyridinol, 6-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 474417-51-1 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(3-methyl-2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 474417-52-2 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-,
(2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H & CH_2 - N \\
N & N
\end{array}$$

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

IT 474417-50-0P, 2-[[4-[5-(Benzyloxy)pyridin-2-yl]piperazin-1-yl]methyl]-1H-benzimidazole

RN

CN

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
 (intermediate; prepn. of (heterocyclylalkyl)benzimidazoles from
 heterocycles and (haloalkyl)benzimidazoles for treatment of sexual
 dysfunction)
474417-50-0 CAPLUS
1H-Benzimidazole, 2-[[4-[5-(phenylmethoxy)-2-pyridinyl]-1piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$N$$
 CH_2
 N
 N
 N
 $O-CH_2-Ph$

ΙT 587870-74-4P 587870-75-5P 587870-76-6P 587870-77-7P 587870-78-8P 587870-79-9P 587870-80-2P 587870-81-3P 587870-82-4P 587870-83-5P 587870-84-6P 587870-85-7P 587870-86-8P 587870-87-9P 587870-88-0P 587870-89-1P 587870-90-4P 587870-91-5P 587870-92-6P 587870-93-7P 587870-94-8P 587870-95-9P 587870-96-0P 587870-97-1P 587870-98-2P 587870-99-3P 587871-00-9P 587871-01-0P 587871-02-1P 587871-03-2P 587871-04-3P 587871-05-4P 587871-06-5P 587871-07-6P 587871-08-7P 587871-09-8P 587871-10-1P 587871-11-2P 587871-12-3P 587871-13-4P 587871-14-5P 587871-15-6P 587871-16-7P 587871-17-8P 587871-18-9P 587871-19-0P 587871-20-3P 587871-21-4P 587871-22-5P 587871-23-6P 587871-24-7P 587871-25-8P 587871-27-0P 587871-29-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction) 587870-74-4 CAPLUS

1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, phosphate (1:2) (9CI) (CA INDEX NAME)

CM 1

RN

CN

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 7664-38-2 CMF H3 O4 P

RN 587870-75-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, sulfate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \end{array}$$

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 587870-76-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 587870-77-7 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HCl

RN 587870-78-8 CAPLUS

CN Hexanedioic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$CH_2$$
 N N N N N

CM 2

CRN 124-04-9 CMF C6 H10 O4

 $HO_2C-(CH_2)_4-CO_2H$

RN 587870-79-9 CAPLUS

CN Alginic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
CH_2 \\
N \\
N
\end{array}$$

CM 2

CRN 9005-32-7 CMF Unspecified CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 587870-80-2 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C}-\text{CH}_2-\text{C}-\text{CH}_2-\text{CO}_2\text{H}} \\ | \\ \text{OH} \end{array}$$

RN 587870-81-3 CAPLUS

CN L-Aspartic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 56-84-8 CMF C4 H7 N O4

Absolute stereochemistry. Rotation (+).

RN 587870-82-4 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monobenzoate (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \hline & N & \\ \end{array}$$

CM 2

CRN 65-85-0 CMF C7 H6 O2

RN 587870-83-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monobenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

RN 587870-84-6 CAPLUS

CN Butanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 107-92-6 CMF C4 H8 O2

RN 587870-85-7 CAPLUS

CN 1,3-Cyclopentanedicarboxylic acid, 1,2,2-trimethyl-, (1R,3S)-rel-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 5394-83-2 CMF C10 H16 O4

Relative stereochemistry.

RN 587870-86-8 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1S,4R)-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-

benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 3144-16-9 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).

RN 587870-87-9 CAPLUS

CN D-Gluconic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 526-95-4 CMF C6 H12 O7

Absolute stereochemistry.

RN 587870-88-0 CAPLUS
CN 1,2,3-Propanetriol, 1-(dihydrogen phosphate), compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
N \\
\end{array}$$

$$\begin{array}{c|c}
CH_2 \\
N \\
\end{array}$$

$$\begin{array}{c|c}
N \\
\end{array}$$

CM 2

CRN 57-03-4 CMF C3 H9 O6 P

RN 587870-89-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, sulfate (2:1) (9CI) (CA INDEX NAME)

CM 1

$$\begin{array}{c|c} H & CH_2 - N \\ \hline N & N \end{array}$$

CRN 7664-93-9 CMF H2 O4 S

RN 587870-90-4 CAPLUS

CN Heptanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 111-14-8 CMF C7 H14 O2

 Me^{-} (CH₂)₅-CO₂H

RN 587870-91-5 CAPLUS

CN Hexanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \end{array}$$

CRN 142-62-1 CMF C6 H12 O2

 $Me^{-(CH_2)_4-CO_2H}$

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 587870-93-7 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 587870-94-8 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 587870-95-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monohydrobromide (9CI) (CA INDEX NAME)

• HBr

RN 587870-96-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monohydriodide (9CI) (CA INDEX NAME)

HI

RN 587870-97-1 CAPLUS

CN Ethanesulfonic acid, 2-hydroxy-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 107-36-8 CMF C2 H6 O4 S

 $HO-CH_2-CH_2-SO_3H$

RN 587870-98-2 CAPLUS

CN Propanoic acid, 2-hydroxy-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 50-21-5 CMF C3 H6 O3

RN 587870-99-3 CAPLUS

CN lH-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
CH_2 - N \\
N \\
N
\end{array}$$

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 587871-00-9 CAPLUS

CN 3-Pyridinecarboxylic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 59-67-6 CMF C6 H5 N O2

RN 587871-01-0 CAPLUS

CN 2-Naphthalenesulfonic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 120-18-3 CMF C10 H8 O3 S

RN 587871-02-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

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CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
N \\
N
\end{array}$$

$$\begin{array}{c|c}
CH_2 \\
N \\
N
\end{array}$$

$$\begin{array}{c|c}
N \\
N \\
N
\end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 587871-03-2 CAPLUS

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$CH_2$$

CM 2

CRN 130-85-8 CMF C23 H16 O6

RN 587871-04-3 CAPLUS

CN Pectin, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 9000-69-5 CMF Unspecified CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 587871-05-4 CAPLUS

CN Peroxymonosulfuric acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

$$\begin{array}{c|c} & H \\ \hline & N \\ \hline & N \\ \end{array}$$

CRN 7722-86-3 CMF H2 O5 S

RN 587871-06-5 CAPLUS

CN Benzenepropanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \end{array}$$

CM 2

CRN 501-52-0 CMF C9 H10 O2

 $Ph-CH_2-CH_2-CO_2H$

RN 587871-07-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 88-89-1 CMF C6 H3 N3 O7

RN 587871-08-7 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-lH-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 75-98-9 CMF C5 H10 O2

RN 587871-09-8 CAPLUS

CN Propanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-

benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 79-09-4 CMF C3 H6 O2

RN 587871-10-1 CAPLUS

CN Butanedioic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} H & CH_2 - N \\ \hline & N \end{array}$$

CM 2

CRN 110-15-6 CMF C4 H6 O4

 ${\tt HO_2C-CH_2-CH_2-CO_2H}$

RN 587871-11-2 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, sulfate

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(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 587871-12-3 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
\downarrow \\
N
\end{array}$$

$$\begin{array}{c}
CH_2 - N \\
N \\
\end{array}$$

$$\begin{array}{c}
N \\
\end{array}$$

CM 2

CRN 526-83-0 CMF C4 H6 O6

RN 587871-13-4 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} H \\ N \\ \end{array} CH_2 - N \\ N \\ \end{array}$$

CM 2

CRN 526-83-0 CMF C4 H6 O6

$$\begin{array}{c|c} & \text{OH} & \text{OH} \\ & | & | \\ & \text{HO}_2\text{C}-\text{CH}-\text{CH}-\text{CO}_2\text{H} \end{array}$$

RN 587871-14-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.

RN 587871-15-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 147-71-7 CMF C4 H6 O6

Absolute stereochemistry.

RN 587871-16-7 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, rel-(2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133-37-9 CMF C4 H6 O6

Relative stereochemistry.

RN 587871-17-8 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, rel-(2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
\downarrow \downarrow \\
N
\end{array}$$

$$\begin{array}{c|c}
CH_2 \\
N \\
\end{array}$$

CM 2

CRN 133-37-9 CMF C4 H6 O6

Relative stereochemistry.

RN 587871-18-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, rel-(2R,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
N \\
N
\end{array}$$

$$\begin{array}{c|c}
CH_2 \\
N \\
N \\
\end{array}$$

CM 2

CRN 147-73-9 CMF C4 H6 O6

Relative stereochemistry.

RN 587871-19-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, rel-(2R,3S)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} H \\ N \\ N \end{array} CH_2 - N \\ N \\ N \end{array}$$

CM 2

CRN 147-73-9 CMF C4 H6 O6

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Relative stereochemistry.

RN 587871-20-3 CAPLUS

CN Thiocyanic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 463-56-9 CMF C H N S

HS-C≡N

RN 587871-21-4 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

$$CH_2$$

CM 2

CRN 7664-38-2 CMF H3 O4 P

RN 587871-22-5 CAPLUS

CN L-Glutamic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H & CH_2 - N \\
N & N
\end{array}$$

CM 2

CRN 56-86-0 CMF C5 H9 N O4

Absolute stereochemistry.

RN 587871-23-6 CAPLUS

CN Carbonic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CRN 463-79-6 CMF C H2 O3

RN 587871-24-7 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2S,3S)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 147-71-7 CMF C4 H6 O6

Absolute stereochemistry.

RN 587871-25-8 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, compd. with bromine (1:1) (9CI) (CA INDEX NAME)

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
N \\
N
\end{array}$$

$$\begin{array}{c|c}
CH_2 \\
N \\
N
\end{array}$$

CM 2

CRN 7726-95-6

CMF Br2

Br-Br

RN 587871-27-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 587871-29-2 CAPLUS

Page 94

CN Undecanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
\downarrow \\
N
\end{array}$$

$$\begin{array}{c}
CH_2 - N \\
N \\
\end{array}$$

CM 2

CRN 112-37-8 CMF C11 H22 O2

 $HO_2C-(CH_2)_9-Me$

```
L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
```

AN 2003:23532 CAPLUS

DN 138:89812

TI Preparation of heteroalkyl-substituted benzimidazoles useful in treating sexual dysfunction

IN Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew
O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.

PA USA

SO U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S. Ser. No. 803,537, abandoned.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

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DATE
    PATENT NO.
                        KIND
                                          APPLICATION NO.
                                                                 DATE
                        ____
                                           ______
    US 2003008878
PΙ
                               20030109
                                           US 2001-874484
                         A1
                                                                  20010605
    US 2002169166
                         A1
                               20021114
                                           US 2001-17939
                                                                  20011214
    CA 2439943
                         AA
                               20021107
                                           CA 2002-2439943
                                                                  20020306
    WO 2002088093
                        A1
                               20021107
                                           WO 2002-US7791
                                                                  20020306
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                               20040102
                                        EP 2002-731130
    EP 1373220
                         A1
                                                                  20020306
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR CN 2002-809382 20020306 20040728 CN 1516693 Α 20020306 Т2 JP 2002-585395 JP 2005507370 20050317 20020306 BR 2002-5812 BR 2002005812 Α 20050503 20030908 NO 2003003959 Α 20031110 NO 2003-3959 ZA 2003007007 Α 20041208 ZA 2003-7007 20030908 20050430 BG 2003-108230 20031003 BG 108230 Α PRAI US 2001-803537 **B2** 20010309 US 2001-874484 A2 20010605 US 2001-17939 20011214 Α W 20020306 WO 2002-US7791 os MARPAT 138:89812 GI

$$R^2$$
 R^3
 R^4
 R^5
 R^2
 R^3
 R^4
 R^5

Title compds. I [A = (hetero)aryl; L = CH2, CH2CH2, etc.; R1-4 = H, AB alkoxy, alkenyl, alkyl, alkylsulfinyl, alkylsulfonyl, etc.; R5 = H, alkoxycarbonyl, alkyl, etc.; Z = N, C(H)] are prepd. For instance, 1-(2-pyridyl)piperazine is alkylated with 2-chloromethylbenzimidazole (DMF, Et3N, 16 h) to give II. II induced statistically significant penile erections in rats after s.c. administration for doses of 0.01 .mu.mol/kg to 0.10 .mu.mol/kg. I are useful for the treatment of sexual dysfunction. 70006-24-5P, 2-[(4-(Pyridin-2-yl)piperazin-1-yl)methyl]-1H-ΙT benzimidazole 70006-25-6P, 2-[[4-(1,3-Thiazol-2-yl)piperazin-1yl]methyl]-1H-benzimidazole 474417-17-9P 474417-18-0P, 2-[(4-Pyrimidin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole 474417-20-4P, 2-[4-(1H-Benzimidazol-2-ylmethyl)piperazin-1yl]nicotinonitrile 474417-21-5P, 5,7-Dibromo-2-[(4-(pyridin-2yl)piperazin-1-yl)methyl]-1H-benzimidazole 474417-22-6P, 5-Fluoro-2-[(4-(pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole 474417-24-8p, Isobutyl 2-[(4-(pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole-1-carboxylate 474417-25-9P, 2-[(4-(Pyridin-2-yl)piperazin-1-yl)methyl]-1-(pyrrolidin-1-ylcarbonyl)-1Hbenzimidazole 474417-26-0P, N,N-Dimethyl-2-[(4-(pyridin-2-

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

RN 70006-25-6 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI) (CA
INDEX NAME)

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \hline & S & \\ \end{array}$$

RN 474417-17-9 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 474417-18-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-20-4 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl](9CI) (CA INDEX NAME)

RN 474417-21-5 CAPLUS

CN 1H-Benzimidazole, 4,6-dibromo-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Br} & \text{N} & \text{CH}_2 & \text{N} & \text{N} \\ & \text{Br} & \text{NH} & \text{CH}_2 & \text{N} & \text{N} \end{array}$$

RN 474417-22-6 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-24-8 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

$$C-OBu-i$$

RN 474417-25-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 474417-26-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N,N-dimethyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-48-6 CAPLUS

CN 3-Pyridinol, 6-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 474417-51-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-methyl-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

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L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
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AN 2002:888718 CAPLUS

DN 137:384842

TI Benzimidazole compounds and antiviral uses thereof

IN Lackey, John William; Kinder, Daniel S.; Tvermoes, Nicolai A.

PA Trimeris, Inc., USA

SO PCT Int. Appl., 143 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

2.2	PATENT NO.					D	DATE		APPLICATION NO.					DATE			
ΡI	WO 20	NO 2002092575					20021121		WO 2002-US14598						20020510		
	W	AE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	ĒĒ,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	ŪG,	UZ,	VN,	YU,	ZA,	ZM,	ZW								
	RI	V: GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	US 2003119754						2003	0626	US 2002-141839					20020509			
	US 7030150					B2 20060418											
PRAI	PRAI US 2001-290038P						2001	0511									
os	MARPAT 137:384842																
GI																	

$$\begin{array}{c|c}
R^{5} & N \\
R^{6} & N \\
N &$$

AB Title compds. I [R1, R2 = H, (un)substituted alkyl, cycloalkyl, heterocyclic, aryl, heteroaryl; R3 = H, halo, (un)substituted alkyl, Oh, alkoxy, aryl, heterocyclic, heteroaryl; R4-R7 = H, halo, (un)substituted alkyl, OH, alkoxy, aryl, heterocyclic, heteroaryl; X = bond, (un)substituted alkylene, C:N, CO, P, S; Y = N, P, O, S; when Y = O, S, R2 is absent; n = 0-4] were prepd. for use as virucides that inhibit membrane fusion assocd. events such as viral transmission, reduce viral load or otherwise treat viral infections, particularly that caused by Respiratory Syncytial Virus. Thus, I [R1 = cyclohexyl, R2 = CHMe2, Y = N, X = CH2, R3 = 2-quinolinyl, R4-R7 = H] had IC50 of 5.16 .mu.g/mL.

I

IT 475648-10-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazole derivs. as virucides for treating Respiratory Syncytial Virus infections)

RN 475648-10-3 CAPLUS

CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[[4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

IT 475648-98-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazole derivs. as virucides for treating Respiratory Syncytial Virus infections)

RN 475648-98-7 CAPLUS

CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

T.4

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
     2002:869583 CAPLUS
AN
DN
     137:353027
ΤI
     Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related
     compounds that are useful in treating sexual dysfunction
IN
     Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew
     O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.; Rohde, Jeffrey
PA
     Abbott Laboratories, USA
     U.S. Pat. Appl. Publ., 53 pp.
SO
     CODEN: USXXCO
DT
     Patent
LА
     English
FAN.CNT 2
     PATENT NO.
                         KIND
                                DATE
                                             APPLICATION NO.
                                                                    DATE
     ______
     US 2002169167
                                                                    20020308
PI,
                          A1
                                20021114
                                            US 2002-94265
     US 7022728
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                                            US 2002-236812
                          A1
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                          B2
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     WO 2003076431
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
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             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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     AU 2003219981
                          A1
                                20030922
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                                                                    20030304
     BR 2003005708
                          Α
                                20040928
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                                                                    20030304
     EP 1483258
                          A1
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                                            EP 2003-716268
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2006508019
                          Т2
                                20060309
                                            JP 2003-574648
                                                                    20030304
     US 2004110766
                          A1
                                20040610
                                            US 2003-699465
                                                                    20031031
PRAI US 2001-274805P
                          P
                                20010309
     US 2001-296078P
                          Ρ
                                20010605
     US 2001-340452P
                          Ρ
                                20011214
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US 2002-94265 A2 20020308 US 2002-236812 Α 20020906 WO 2003-US6406 W 20030304 MARPAT 137:353027

os GI

$$R^2$$
 R^3
 R^4
 R^5
 R^6
 R^6
 R^6
 R^6
 R^6

AB Title compds. (I) [wherein A = (un)substituted Ph, pyridinyl, pyrimidinyl, thienyl, pyrrolyl, furyl, imidazolyl, pyrazolyl, (is)oxazolyl, (iso)thiazolyl, triazolyl, tetrazolyl, etc.; L = CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; R1-R4 = independently H, alkoxy(carbonyl), alkenyl, (halo)alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkynyl, alkylcarbonyl(oxy), CO2H, CN, CHO, halo(alkoxy), OH, hydroxyalkyl, SH, NO2, or (un)substituted amino or carbamoyl; R5 = H, alkoxycarbonyl, alkyl, (cyclo)alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or (un) substituted carbamoyl; R6 = H or alkyl; Z = N, C, or CH; or pharmaceutically acceptable salt, ester, amide, or prodrug thereof] were prepd. as dopamine agonists (no data) for the treatment of sexual dysfunction. For example, 2-chloromethylbenzimidazole and TEA were added to 1-(2-pyridyl)piperazine in DMF and the soln. stirred at 20.degree. for 16 h to give 2-[(4-pyridin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole (II) in 72% yield. The latter induced penile erection in Wistar rats with an incidence of 83% at a dose of 0.03 .mu.mol/kg without inducing emesis. IT 70006-24-5P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1H-

benzimidazole

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) INDEX NAME)

$$\begin{array}{c|c} & H & \\ & N & \\ & & N \end{array}$$

IT **70006-25-6P**, 2-[[4-(1,3-Thiazol-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole 474417-17-9P, 2-[[4-(Pyridin-2-yl)piperazin-1yl]methyl]-1H-benzimidazole maleate (1:1) 474417-18-0P, 2-[[4-(Pyrimidin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole **474417-19-1P**, 2-[[4-(6-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-20-4P, 2-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]nicotinonitrile 474417-21-5p, 5,7-Dibromo-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-22-6P, 5-Fluoro-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-24-8P, Isobutyl 2-[[4-(pyridin-2yl)piperazin-1-yl]methyl]-1H-benzimidazole-1-carboxylate 474417-25-9P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1-(pyrrolidin-1-ylcarbonyl)-1H-benzimidazole 474417-26-0P, N, N-Dimethyl-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole-1carboxamide 474417-39-5P, 2-[[2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-41-9P, 2-[[(2S)-2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-43-1P , 2-[[(2R)-2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole 474417-45-3P, N-[2-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]pyridin-3-yl]methanesulfonamide **474417-47-5P**, 2-[[4-(3-Fluoropyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-48-6P, 6-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]pyridin-3-ol 474417-51-1P, 2-[[4-(3-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-52-2P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole bis((L)tartrate) RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction) RN 70006-25-6 CAPLUS CN 1H-Benzimidazole, 2-[{4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI) INDEX NAME)

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & S & \\ \end{array}$$

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 474417-18-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-19-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(6-methyl-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-20-4 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl](9CI) (CA INDEX NAME)

RN 474417-21-5 CAPLUS

CN 1H-Benzimidazole, 4,6-dibromo-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Br & N & CH_2 & N & N \\ \hline & NH & CH_2 & N & N \\ \hline \end{array}$$

RN 474417-22-6 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$F \longrightarrow N \longrightarrow CH_2 \longrightarrow N \longrightarrow N$$

RN 474417-24-8 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

$$C-OBu-i$$

RN 474417-25-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 474417-26-0 CAPLUS

CN lH-Benzimidazole-1-carboxamide, N,N-dimethyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 474417-39-5 CAPLUS

CN 1H-Benzimidazole, 2-[[2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-41-9 CAPLUS

CN 1H-Benzimidazole, 2-[[(2S)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-43-1 CAPLUS

CN 1H-Benzimidazole, 2-[[(2R)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-45-3 CAPLUS

CN Methanesulfonamide, N-[2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ NH - S - Me \\ \hline \\ & & \\ O \end{array}$$

RN 474417-47-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-fluoro-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$N$$
 CH_2
 N
 N
 N
 N
 N

RN 474417-48-6 CAPLUS

CN 3-Pyridinol, 6-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

$$N$$
 CH_2 N N N N N OH

RN 474417-51-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-methyl-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-52-2 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
 & H \\
 & N \\$$

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

IT 474417-50-0P, 2-[[4-[5-(Benzyloxy)pyridin-2-yl]piperazin-1-yl]methyl]-1H-benzimidazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 474417-50-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-[5-(phenylmethoxy)-2-pyridinyl]-1piperazinyl]methyl]- (9CI) (CA INDEX NAME)

L4ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:869582 CAPLUS

DN 137:353026

ΤI Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related compounds that are useful in treating sexual dysfunction

IN Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.; Rohde, Jeffrey

Same IE

PA

SO U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Ser. No. 874,484. CODEN: USXXCO

DTPatent

English LΑ

FAN.CNT 3																			
	PATENT NO.			KIND DATE			APPLICATION NO.												
PI		2002169166 2003008878			A1		20021114		US 2001-17939 US 2001-874484				20011214						
	CA	2439943			AA	20021107		CA 2002-2439943					20020306						
	WO	2002088093				A1		20021107		WO 2002-US7791					20020306				
		W:									BB,								
											EC,								
											KE,								
											MN,				-	-	-	-	
											SK,								
											AM,								TM
		RW:									SZ,								
											IE,								
		1272	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
	EP	P 1373220 R: AT, BE, CH																	
		R:											ъΙ,	LU,	ΝL,	SE,	MC,	PT,	
	CN	IE, SI, LT, CN 1516693							CN 2002-809382			30030306							
								20040728			JP 2002-585395								
	BB	2005507370			12		20050517			BR 2002-5812									
	NO	2002	UU39	59				NO 2003-3959											
		1082		<i>J J</i>						BG 2003-108230									
PRAI											BG 2003 100230			20031003					
									20010605										
	US 2001-874484 A2 US 2001-17939 A																		
	WO 2002-US7791						2002												

OS MARPAT 137:353026

GI

$$R^2$$
 R^3
 R^4
 R^5
 R^6
 R^6
 R^6
 R^6

AΒ Title compds. (I) [wherein A = (un) substituted Ph, pyridinyl, pyrimidinyl, thienyl, pyrrolyl, furyl, imidazolyl, pyrazolyl, (is)oxazolyl, (iso)thiazolyl, triazolyl, tetrazolyl, etc.; L = CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; R1-R4 = independently H, alkoxy(carbonyl), alkenyl, (halo)alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkynyl, alkylcarbonyl(oxy), CO2H, CN, CHO, halo(alkoxy), OH, hydroxyalkyl, SH, NO2, or (un) substituted amino or carbamoyl; R5 = H, alkoxycarbonyl, alkyl, (cyclo)alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or (un) substituted carbamoyl; R6 = H or alkyl; Z = N, C, or CH; or pharmaceutically acceptable salt, ester, amide, or prodrug thereof] were prepd. as dopamine agonists (no data) for the treatment of sexual dysfunction. For example, 2-chloromethylbenzimidazole and TEA were added to 1-(2-pyridyl)piperazine in DMF and the soln. stirred at 20.degree. for 16 h to give 2-[(4-pyridin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole (II) in 72% yield. The latter induced penile erection in Wistar rats with an incidence of 83% at a dose of 0.03 .mu.mol/kg without inducing emesis. IT

70006-24-5P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole

Ι

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H \\ N \\ \hline \end{array} \qquad CH_2 - N \\ N \\ \hline \end{array} \qquad N$$

IT 70006-25-6P, 2-[[4-(1,3-Thiazol-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole 474417-17-9P, 2-[[4-(Pyridin-2-yl)piperazin-1yl]methyl]-1H-benzimidazole maleate (1:1) 474417-18-0P, 2-[[4-(Pyrimidin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole **474417-19-1P**, 2-[[4-(6-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-20-4P, 2-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]nicotinonitrile 474417-21-5P, 5,7-Dibromo-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-22-6P, 5-Fluoro-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-24-8P, Isobutyl 2-[[4-(pyridin-2yl)piperazin-1-yl]methyl]-1H-benzimidazole-1-carboxylate 474417-25-9P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1-(pyrrolidin-1-ylcarbonyl)-1H-benzimidazole 474417-26-0P, N,N-Dimethyl-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole-1carboxamide 474417-39-5P, 2-[[2-Methyl-4-(pyridin-2-yl)piperazin-1-y1]methyl]-1H-benzimidazole 474417-41-9P, 2-[((2S)-2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-43-1P , 2-[(2R)-2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole 474417-45-3P, N-[2-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]pyridin-3-yl]methanesulfonamide **474417-47-5P**, 2-[[4-(3-Fluoropyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-48-6P, 6-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]pyridin-3-ol 474417-51-1P, 2-[[4-(3-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole **474417-52-2P**, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole bis((L)tartrate) RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction) RN 70006-25-6 CAPLUS CN 1H-Benzimidazole, 2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI) INDEX NAME)

$$\begin{array}{c|c} & H \\ & N \\ & N \end{array}$$
 CH₂— N N N N S N

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 474417-18-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-19-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(6-methyl-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-20-4 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]-(9CI) (CA INDEX NAME)

RN 474417-21-5 CAPLUS

CN 1H-Benzimidazole, 4,6-dibromo-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-22-6 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-24-8 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 474417-25-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 474417-26-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N,N-dimethyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 474417-39-5 CAPLUS

CN 1H-Benzimidazole, 2-[[2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-41-9 CAPLUS

CN 1H-Benzimidazole, 2-[[(2S)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-43-1 CAPLUS

CN 1H-Benzimidazole, 2-[[(2R)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-45-3 CAPLUS

CN Methanesulfonamide, N-[2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ NH - S - Me \\ & & \\ N \end{array}$$

RN 474417-47-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-fluoro-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-48-6 CAPLUS

CN 3-Pyridinol, 6-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 474417-51-1 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(3-methyl-2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 474417-52-2 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-,
(2R, 3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

IT 474417-50-0P, 2-[[4-[5-(Benzyloxy)pyridin-2-yl]piperazin-1-yl]methyl]-1H-benzimidazole

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ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
L4
ΑN
     2002:849600 CAPLUS
DN
      137:353023
TI
      Preparation of 2-heterocycloalkyl-benzimidazole derivatives for treating
      sexual dysfunction
     Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew
IN
      O.; Kolasa, Teodozyj; Rohde, Jeffrey J.; Patel, Meena V.; Brioni, Jorge D.
                                       Same IE
PA
     Abbott Laboratories, USA
SO
      PCT Int. Appl., 115 pp.
     CODEN: PIXXD2
DT
      Patent
T.A
     English
FAN.CNT 3
     PATENT NO.
                             KIND
                                      DATE
                                                    APPLICATION NO.
                                                                               DATE
                             ----
                                                    -----
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                                                                               _____
PΙ
     WO 2002088093
                              A1
                                      20021107
                                                    WO 2002-US7791
                                                                               20020306
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
               CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
               LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
               BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2003008878
                                      20030109
                                                   US 2001-874484
                              A1
                                                                               20010605
     US 2002169166
                              A1
                                      20021114
                                                    US 2001-17939
                                                                               20011214
     CA 2439943
                              AA
                                      20021107
                                                    CA 2002-2439943
                                                                               20020306
                                      20040102
     EP 1373220
                              A1
                                                    EP 2002-731130
                                                                               20020306
               AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
      JP 2005507370
                              Т2
                                      20050317
                                                    JP 2002-585395
                                                                               20020306
      BR 2002005812
                                      20050503
                                                    BR 2002-5812
                              Α
                                                                               20020306
     NO 2003003959
                                                    NO 2003-3959
                              Α
                                      20031110
                                                                               20030908
PRAI US 2001-803537
                              Α
                                      20010309
     US 2001-874484
                              Α
                                      20010605
     US 2001-17939
                              Α
                                      20011214
     WO 2002-US7791
                              W
                                     20020306
     MARPAT 137:353023
OS.
GT
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$$R^2$$
 R^3
 R^4
 R^5
 R^4
 R^5
 R^2
 R^6
 R^6

The present invention relates to the use of I [A = Ph, pyridyl, pyrimidinyl, thiophenyl, etc.; X = NH, O, S; L = (CH2)1-4; R1-4 = H, alkoxy, alkenyl, alkyl, alkylsulfinyl, etc.; R5 = H, alkoxycarbonyl, alkyl, alkylcarbonyl, arylcarbonyl, etc.; R6 = H, alkyl; Z = N, C (if dotted line is a bond), CH (if dotted line is absent)] for the treatment of sexual dysfunction. For instance, 1-(2-pyridyl)piperazine was reacted with 2-chloromethylbenzimidazole to afford II which was isolated and converted to the maleate salt. II at s.c. doses of 0.01 - 0.10 .mu.mol/kg induced statistically significant penile erections in rats compared to vehicle (L-ascorbic acid in saline).

TO006-24-5P, 2-[(4-(Pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole 70006-25-6P, 2-[(4-(1) 3-Thiazol-2-yl)piperazin-1-yl)methyl]-1H-

II

benzimidazole 70006-25-6P, 2-[[4-(1,3-Thiazol-2-yl)piperazin-1yl]methyl]-1H-benzimidazole 474417-17-9P, 2-[(4-(Pyridin-2yl)piperazin-1-yl)methyl]-1H-benzimidazole maleate 474417-18-0P, 2-[(4-Pyrimidin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole **474417-19-1P**, 2-[[4-(6-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-20-4P, 2-[4-(1H-Benzimidazol-2ylmethyl)piperazin-1-yl]nicotinonitrile 474417-21-5P, 5,7-Dibromo-2-[(4-(pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole 474417-22-6P, 5-Fluoro-2-[(4-(pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole 474417-24-8P, Isobutyl 2-[(4-(pyridin-2yl)piperazin-1-yl)methyl]-1H-benzimidazole-1-carboxylate **474417-25-9P**, 2-[(4-(Pyridin-2-yl)piperazin-1-yl)methyl]-1-(pyrrolidin-1-ylcarbonyl)-1H-benzimidazole 474417-26-0P, N,N-Dimethyl-2-[(4-(pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole-1carboxamide 474417-39-5P, 2-[(2-Methyl-4-(pyridin-2-yl)piperazin-1-y1)methyl]-1H-benzimidazole 474417-41-9P, (S)-2-[[2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-43-1P , (R)-2-[(2-Methyl-4-(pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole 474417-45-3P, N-[2-[4-(1H-Benzimidazol-2-ylmethyl)piperazin-1yl]pyridin-3-yl]methanesulfonamide 474417-47-5P, 2-[[4-(3-Fluoropyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole

474417-48-6P, 6-[4-(1H-Benzimidazol-2-ylmethyl)piperazin-1-yl]pyridin-3-ol 474417-51-1P, 2-[[4-(3-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-52-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-piperazino/piperidino-alkyl-benzimidazole derivs. for treating sexual dysfunction)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 N N N

RN 70006-25-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & \\ & N & \\ & & N \\ & &$$

RN 474417-17-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 474417-18-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-19-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(6-methyl-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-20-4 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl](9CI) (CA INDEX NAME)

RN 474417-21-5 CAPLUS

CN 1H-Benzimidazole, 4,6-dibromo-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-22-6 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$F \longrightarrow N \longrightarrow CH_2 \longrightarrow N \longrightarrow N$$

RN 474417-24-8 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

RN 474417-25-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 474417-26-0 CAPLUS

CN lH-Benzimidazole-l-carboxamide, N,N-dimethyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-39-5 CAPLUS
CN 1H-Benzimidazole, 2-[[2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 474417-41-9 CAPLUS
CN 1H-Benzimidazole, 2-[[(2S)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-43-1 CAPLUS
CN 1H-Benzimidazole, 2-[[(2R)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-45-3 CAPLUS

CN Methanesulfonamide, N-[2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ NH & S-Me \\ \hline \\ & & \\ NH & \\ \end{array}$$

RN 474417-47-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-fluoro-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-48-6 CAPLUS

CN 3-Pyridinol, 6-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 474417-51-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-methyl-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-52-2 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2R, 3R)-2, 3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H & CH_2 - N \\
\hline
N & N
\end{array}$$

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

IT 474417-50-OP, 2-[[4-[5-(Benzyloxy)pyridin-2-yl]piperazin-1-yl]methyl]-1H-benzimidazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 2-piperazino/piperidino-alkyl-benzimidazole derivs. for treating sexual dysfunction)

RN 474417-50-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-[5-(phenylmethoxy)-2-pyridinyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1992:235655 CAPLUS

DN 116:235655

TI Preparation of 2-[4-(azolobutyl)piperazino(methyl)]benzimidazoles and

analogs as antihistaminics

IN Cuberes-Altisent, Maria Rosa; Frigola-Constansa, Jordi; Pares-Corominas, Juan

PA Laboratorios del Dr. Esteve S. A., Spain

SO Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

FAN.		1 TENT NO.		KIND	DATE	AF	PLICATION NO.	DATE	
									
ΡI		468884			19920129	EP	1991-402055	19910	724
	EΡ	468884		В1	19951220				
		R: AT, BE	E, CH,	DE,	DK, FR, GB,	GR, I	T, LI, LU, NL,	SE	
		2665161		A1	19920131	FP	1990-9563	19900	726
	FR	2665161		B1	19921127				
	ИО	9102669		Α	19920127	NC	1991-2669	19910	708
	NO	178576		В	19960115				
	NO	178576		С	19960424				
	RO	109736		B1	19950530	RC	1991-148010	19910	715
	KR	183028		B1	19990501	KR	1991-12584	19910	723
	AU	9181280		A1	19920130	AU	1991-81280	19910	724
	AU	638857		B2	19930708				
	AΤ	131822		E	19960115	AT	1991-402055	19910	724
	CA	2047880		AA	19920127	CA	1991-2047880	19910	725
	ZA	9105839		Α	19920429	ZA	. 1991-5839	19910	725
		5182280		Α	19930126	US	1991-735653	19910	725
	ES	2038074		A 1	19930701	ES	1991-1740	19910	725
	ES	2038074		B1	19940201				
	RU	2024519		C1	19941215	RU	1991-5001216	19910	725
		167222		B1	19950831	PL	1991-291247	19910	725
	CN	1058404		Α	19920205	CN	1991-105154	19910	726
	CN	1030915		В	19960207				
	HU	58320		A2	19920228	HU	1991-2518	19910	726
	ΗU	215109		В	20000428				
	JP	04234387		A2	19920824	JP	1991-187721	19910	726
	JP	08032703		B4	19960329				
		280185		В6	19951115	CZ	1991-2351	19910	726
	IN	176350		Α	19960504	IN	1991-DE679	19910	726
PRAI		1990-9563		Α	19900726				
os	MAF	RPAT 116:235	655						
GI									

AB Title compds. [I; R = azolo group Q; R1, R2 = H, halo, alkyl, alkoxy, etc.; X, Y, Z, W = N, (substituted) CH; n = 0, 1; m = 2-4] were prepd. Thus, I (R1 = R2 = H, n = 1) (II; R = H, m = 0) was condensed with

N-(4-bromobutyl)phthalimide and the product hydrazinolyzed to give II (m = 4) (III; R = NH2) which was cyclocondensed with 2,5-dimethoxytetrahydrofuran to give III (R = pyrrolo). III (R = 1,2,4-triazol-1-yl) had ED50 of 0.036 mg/kg i.p. against compd. 48/80-induced mortality in rats.

IT 140945-43-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as antihistaminic)

RN 140945-43-3 CAPLUS

CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-[[4-(1H-pyrazol-1-yl)-1-piperazinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 140945-42-2 CMF C19 H26 N6 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

- L4 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 1979:204028 CAPLUS
- DN 90:204028
- TI Synthesis and anthelmintic activity of 2-(N4-substituted-N1-piperazinyl)methyl-5-(or 6)-substituted benzimidazoles
- AU Sule, D. P.; Shah, M. H.; Ghooi, Shaila; Bhide, M. B.
- CS Dep. Chemother., Haffkine Inst., Bombay, India
- SO Bulletin of Haffkine Institute (1978), 6(2), 62-4 CODEN: BHFIA9; ISSN: 0304-9515
- DT Journal
- LA English

GΙ

AB Sixteeen piperazinylmethylbenzimidazoles I (R = NO2, H; R1 = Me, CH2CH2OH, benzyl, Ph, p-ClC6H4, o-MeOC6H4, o-tolyl, 2-pyridyl, 2-thiazolyl) were prepd. by reaction of the piperazine II with the resp.
2-chloromethylbenzimidazoles, which were prepd. from 3,4-(H2N)2C6H3R and ClCH2CO2H. The majority of I showed anthelmintic activity >80% at 500 mg/kg as compared to Yomesan showing 100% activity at 500 mg/kg. I (R = NO2) were more active than I (R = H).

IT 70006-24-5P 70006-25-6P 70006-32-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and anthelmintic activity of)

RN 70006-24-5 CAPLUS

CN lH-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & CH_2 - N \\ \hline N & N \end{array}$$

RN 70006-25-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \hline & N & \\ \hline & S & \\ \end{array}$$

RN 70006-32-5 CAPLUS

CN 1H-Benzimidazole, 5-nitro-2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

$$O_2N$$
 N
 CH_2
 N
 N
 N
 N

●2 HCl

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